

10/716,175

STN-STRUCTURE SEARCH  
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E7 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:453172 CAPLUS

DOCUMENT NUMBER: 141:23305

TITLE: Preparation of substituted aryl thioureas as inhibitors of viral replication

INVENTOR(S): Chen, Dawei; Deshpande, Milind; Thurkauf, Andrew; Phadke, Avinash; Wang, Xiangzhu; Shen, Yiping; Liu, Cuixian; Quinn, Jesse; Ohkanda, Junko; Li, Shouming

PATENT ASSIGNEE(S): Achillion Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 218 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004046095	A1	20040603	WO 2003-US36809	20031118
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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

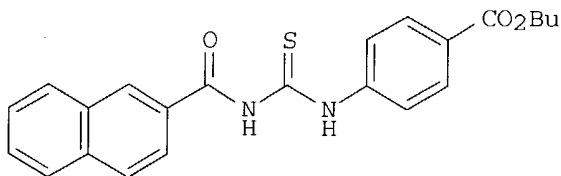
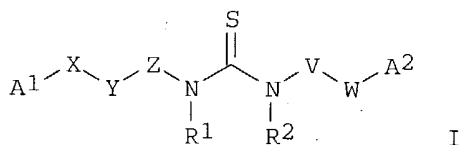
US 2004138205	A1	20040715	US 2003-716175	20031118
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PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 141:23305

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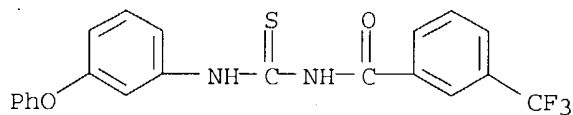
US 2003-716175	20031118
US 2002-427634P	P 20021119



AB The title compds. [I; A<sup>1</sup> = (un)substituted aryl, 5-6 membered heteroaryl; etc.; A<sup>2</sup> = (un)substituted Ph, 2-pyridyl, 5-pyrimidinyl, etc.; X, W = O, S, NR, absent (wherein R = H, alkyl, arylalkyl); V = alkyl, alkenyl, cycloalkyl, absent; Y = alkyl, cycloalkylalkyl, alkenyl, etc.; when V is absent, W is absent; Z = carbonyl, thiocarbonyl, imino, alkylimino; R<sup>1</sup>, R<sup>2</sup> = substituted alkyl, alkenyl, alkynyl; or R<sup>1</sup> and R<sup>2</sup> are joined to form

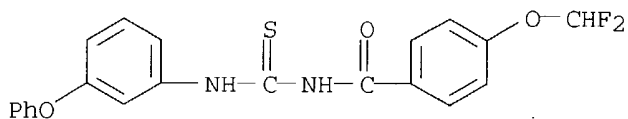
10/716,175

(9CI) (CA INDEX NAME)



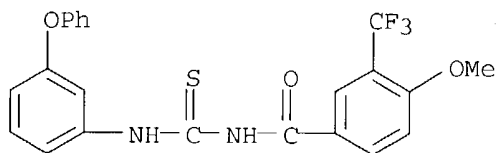
RN 698990-35-1 CAPLUS

CN Benzamide, 4-(difluoromethoxy)-N-[[3-phenoxyphenyl]amino]thioxomethyl]-  
(9CI) (CA INDEX NAME)



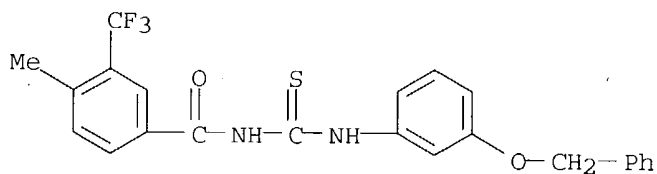
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CN Benzamide, 4-methoxy-N-[[3-phenoxyphenyl]amino]thioxomethyl]-3-  
(trifluoromethyl)- (9CI) (CA INDEX NAME)



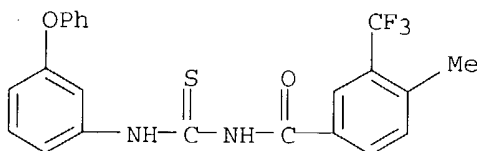
RN 698990-74-8 CAPLUS

CN Benzamide, 4-methyl-N-[[[3-(phenylmethoxy)phenyl]amino]thioxomethyl]-3-  
(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 698990-79-3 CAPLUS

CN Benzamide, 4-methyl-N-[[[3-phenoxyphenyl]amino]thioxomethyl]-3-  
(trifluoromethyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1981:407266 CAPLUS

DOCUMENT NUMBER: 95:7266

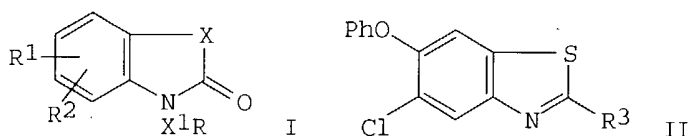
TITLE: 2-Oxo-benzothiazoline, benzoxazoline or indoline

10/716,175

derivatives and **pharmaceutical compositions** comprising them  
 INVENTOR(S): Ueda, Ikuro; Matsuo, Masaaki; Satoh, Susumu; Watanabe, Takao  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 53 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 22317	A1	19810114	EP 1980-301973	19800611
EP 22317	B1	19830921		
R: AT, BE, CH, DE, FR, GB, IT, NL, SE				
JP 55167282	A2	19801226	JP 1979-74239	19790612
US 4370340	A	19830125	US 1980-155185	19800602
AT 4713	E	19831015	AT 1980-301973	19800611
JP 56097268	A2	19810805	JP 1980-79645	19800612
JP 01014223	B4	19890310		
US 4438126	A	19840320	US 1982-409089	19820818
PRIORITY APPLN. INFO.:			JP 1979-74239	19790612
			GB 1979-44556	19791228
			US 1980-155185	19800602
			EP 1980-301973	19800611

OTHER SOURCE(S): CASREACT 95:7266  
 GI



AB The title compds. I (X = O, S, CH<sub>2</sub>; X<sub>1</sub> = alkylene; R = optionally protected carboxy; R<sub>1</sub> = OH, halogen, NO<sub>2</sub>, NH<sub>2</sub>, cycloalkyl, aryl, aryloxy; R<sub>2</sub> = H, halogen, alkyl) were prepared. Thus 3,4-Cl(PhO)C<sub>6</sub>H<sub>3</sub>NH<sub>2</sub> was treated with BzNCS to give 3,4-Cl(PhO)C<sub>6</sub>H<sub>3</sub>NHCSNHBz which was debenzoylated and cyclized with Br to give II (R<sub>3</sub> = NH<sub>2</sub>). Diazotization of II (R<sub>3</sub> = NH<sub>2</sub>) and bromination gave II (R<sub>3</sub> = Br) which was hydrolyzed to II (R<sub>3</sub> = OH). Treatment of II (R<sub>3</sub> = OH) with BrCH<sub>2</sub>CO<sub>2</sub>Et gave I (X = S, X<sub>1</sub> = CH<sub>2</sub>, R = CO<sub>2</sub>Et, R<sub>1</sub> = 6-PhO, R<sub>2</sub> = 5-Cl) which was hydrolyzed to acid. The latter compound had an aldose reductase-inhibiting ED<sub>50</sub> of 5 + 10<sup>-8</sup>M.

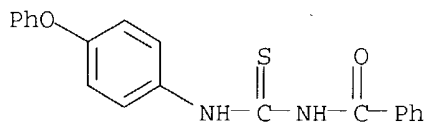
IT **76838-41-0P 76839-52-6P 77859-27-9P**  
**77859-29-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and debenzoylation of)

RN 76838-41-0 CAPLUS

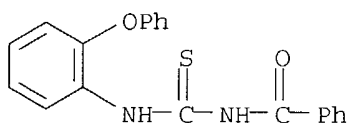
CN Benzamide, N-[[4-phenoxyphenyl]amino]thioxomethyl]- (9CI) (CA INDEX NAME)

10/716,175



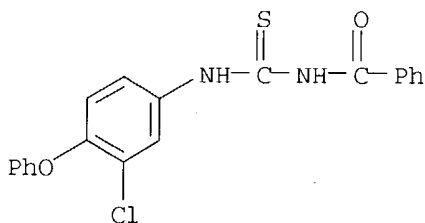
RN 76839-52-6 CAPLUS

CN Benzamide, N-[[[(2-phenoxyphenyl)amino]thioxomethyl]- (9CI) (CA INDEX NAME)



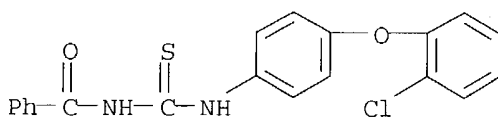
RN 77859-27-9 CAPLUS

CN Benzamide, N-[[[(3-chloro-4-phenoxyphenyl)amino]thioxomethyl]- (9CI) (CA INDEX NAME)



RN 77859-29-1 CAPLUS

CN Benzamide, N-[[[4-(2-chlorophenoxy)phenyl]amino]thioxomethyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1981:139807 CAPLUS

DOCUMENT NUMBER: 94:139807

TITLE: 2-Imidazoline derivatives and **pharmaceutical compositions** containing them

INVENTOR(S): Ueda, Ukuo; Matsuo, Masaaki; Taniguchi, Kiyoshi; Katsura, Yousuke

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 68 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

KIND

DATE

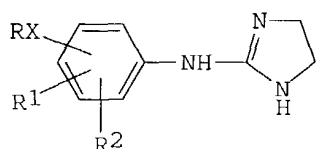
APPLICATION NO.

DATE

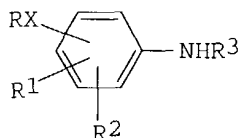
10/716,175

EP 17484	A1	19801015	EP 1980-301061	19800402
EP 17484	B1	19830406		
R: AT, BE, CH, DE, FR, GB, IT, NL, SE				
ZA 8001680	A	19810325	ZA 1980-1680	19800321
CA 1138451	A1	19821228	CA 1980-348207	19800321
AU 8056892	A1	19801009	AU 1980-56892	19800327
AU 535979	B2	19840412		
DK 8001424	A	19801004	DK 1980-1424	19800401
JP 55136266	A2	19801023	JP 1980-43398	19800402
JP 02010830	B4	19900309		
ES 490292	A1	19810216	ES 1980-490292	19800402
AT 2953	E	19830415	AT 1980-301061	19800402
HU 27686	O	19831028	HU 1980-793	19800402
HU 184259	B	19840730		
PRIORITY APPLN. INFO.:			GB 1979-11537	19790403
			EP 1980-301061	19800402

GI



I



II

AB Anilinoimidazolines I (R = substituted aryl; R1, R2 = H, halogen, alkyl, alkoxy, alkanesulfonamido, haloalkyl, carbamoyl, NO2, amino, cyano, SO2NH2; X = O, S, CH2, bond) were prepared by treating II, (R3 = H) with BzSCN, debenzoylating the II (R3 = CSNHBz), S-methylating II (R3 = CSNH2), and cyclizing II [R3 = C(SMe):NH] with H2NCH2CH2NH2. I (RX = 2-PhO, R1 = S-Cl, R2 = H) caused 57% decrease in blood pressure at 10 mg/kg in rats. I (RX = 2-PhO, R1 = 4-Me, R2 = H) caused 48.4% inhibition carrageenin-induced edema at 100 mg/kg orally in rats. I (RX = 3-MeO, R1 = 4-MeO, R2 = 5-MeO) had an analgesic ED50 of 50.1 mg/kg orally in the HOAc writhing test. I (RX = 2-Ph, R1 = R2 = H) caused 73.2% decrease in gastric acid secretion at 1 mg/kg i.v. in dogs.

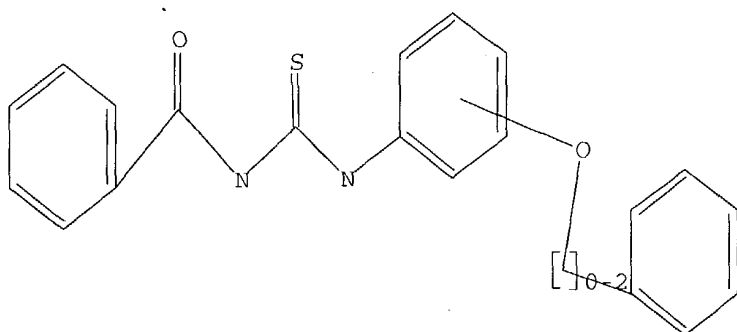
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 76838-60-3P 76838-64-7P 76838-70-5P  
 76838-71-6P 76839-52-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and debenzoylation of)

RN 76838-12-5 CAPLUS

CN Benzamide, N-[[[2-(3-chlorophenoxy)phenyl]amino]thioxomethyl]- (9CI) (CA INDEX NAME)

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G1 O,S

Structure attributes must be viewed using STN Express query preparation.

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